

# STN Columbus

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 28 PATDPAFULL - New display fields provide for legal status  
data from INPADOC  
NEWS 4 FEB 28 BABS - Current-awareness alerts (SDIs) available  
NEWS 5 MAR 02 GBFULL: New full-text patent database on STN  
NEWS 6 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 8 MAR 22 KOREAPAT now updated monthly; patent information enhanced  
NEWS 9 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY  
NEWS 10 MAR 22 PATDPASPC - New patent database available  
NEWS 11 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags  
NEWS 12 APR 04 EPFULL enhanced with additional patent information and new  
fields  
NEWS 13 APR 04 EMBASE - Database reloaded and enhanced  
NEWS 14 APR 18 New CAS Information Use Policies available online  
NEWS 15 APR 25 Patent searching, including current-awareness alerts (SDIs),  
based on application date in CA/CAPLUS and USPATFULL/USPAT2  
may be affected by a change in filing date for U.S.  
applications.  
NEWS 16 APR 28 Improved searching of U.S. Patent Classifications for  
U.S. patent records in CA/CAPLUS  
NEWS 17 MAY 23 GBFULL enhanced with patent drawing images  
NEWS 18 MAY 23 REGISTRY has been enhanced with source information from  
CHEMCATS  
NEWS 19 JUN 06 STN Patent Forums to be held in June 2005  
NEWS 20 JUN 06 The Analysis Edition of STN Express with Discover!  
(Version 8.0 for Windows) now available  
NEWS 21 JUN 13 RUSSIAPAT: New full-text patent database on STN  
NEWS 22 JUN 13 FRFULL enhanced with patent drawing images  
NEWS 23 JUN 20 MEDICONF to be removed from STN  
NEWS 24 JUN 27 MARPAT displays enhanced with expanded G-group definitions  
and text labels  
  
NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 18:35:30 ON 29 JUN 2005

# STN Columbus

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 18:35:39 ON 29 JUN 2005

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8

DICTIONARY FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 18:38:40 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 76 TO ITERATE

100.0% PROCESSED 76 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 997 TO 2043

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 18:38:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1649 TO ITERATE

100.0% PROCESSED 1649 ITERATIONS

38 ANSWERS

SEARCH TIME: 00.00.01

# STN Columbus

L3 38 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

163.48

163.69

FILE 'CAPLUS' ENTERED AT 18:38:59 ON 29 JUN 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 29 Jun 2005 VOL 143 ISS 1

FILE LAST UPDATED: 28 Jun 2005 (20050628/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 15 L3

=> d l4 1-15 bib abs hitstr

L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 2004:565914 CAPLUS

DN 141:325564

TI Serotonin receptor agonists buspirone and (+/-)-DOB: Tritiation at high specific activity

AU Ahern, D. G.; Seguin, R. J.; Filer, C. N.

CS PerkinElmer Life and Analytical Sciences, Inc., Boston, MA, 02118, USA

SO Journal of Radioanalytical and Nuclear Chemistry (2004), 261(2), 465-467  
CODEN: JRNCDM; ISSN: 0236-5731

PB Kluwer Academic Publishers

DT Journal

LA English

AB Serotonergic agonists buspirone 1 and (+/-)-DOB 4 have been labeled with tritium at high specific activity and have emerged as valuable tools to study the serotonin receptor family.

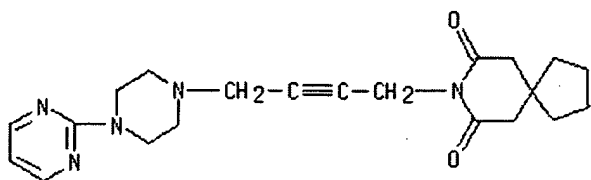
IT 118286-97-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(tritiation of serotonin receptor agonists buspirone and (+/-)-DOB at high specific activity)

RN 118286-97-8 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 2004:287842 CAPLUS

DN 140:303702

TI Preparation of alkynylpiperazinyldiprimidines as mGluR5 receptor function inhibitors for treatment of pain, addiction, Parkinson's disease, etc.

IN Chen, Zhengming; Tafesse, Laykea

PA Euro-Celtique, S.A., Luxembourg

SO PCT Int. Appl., 272 pp.

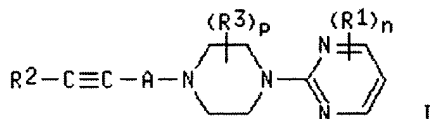
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004029044	A1	20040408	WO 2003-US30187	20030924
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004127501	A1	20040701	US 2003-669875	20030923
	EP 1542991	A1	20050622	EP 2003-798728	20030924
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-413193P	P	20020924		
	US 2003-456042P	P	20030319		
	WO 2003-US30187	W	20030924		
OS	MARPAT 140:303702				
GI					



AB Title compds. [I; A = CO, CS, CH<sub>2</sub>, CHA<sub>1</sub>, C(A<sub>1</sub>)<sub>2</sub>; A<sub>1</sub> = alkyl; n = 0-3; p = 0-2; R<sub>1</sub> = alkyl, alkoxy, halo, CX<sub>3</sub>, CH<sub>2</sub>X, CH<sub>2</sub>X, NO<sub>2</sub>, OH, cyano; X = halo; R<sub>2</sub> = (substituted) Ph, naphthyl, aryl, etc.; R<sub>3</sub> = OH, halo, NO<sub>2</sub>, cyano, amino, CH<sub>2</sub>OH; with provisos], were prepd. Thus, 1-(2-pyrimidinyl)piperazine dihydrochloride, 3-phenyl-2-propynoic acid, 1-hydroxybenzotriazole, and EDC were stirred together for 4 h in DMF to

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give 40% 1-(2-pyrimidinyl)-4-(phenylethynylcarbonyl)piperazine [AAA(IIA)]. AAA(IIA) bound to mGluR5 receptors with IC50 = 554.8 nM. Condition such as pain, urinary incontinence, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, amyotrophic lateral sclerosis, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression in an animal comprising administering to an animal in need thereof an effective amt. of a 2-Pyrimidinylpiperazine Compd.

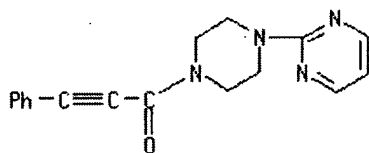
IT 676596-25-1P 676596-26-2P 676596-27-3P  
676596-28-4P 676596-29-5P 676596-30-8P  
676596-31-9P 676596-32-0P 676596-33-1P  
676596-34-2P 676596-35-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of alkynylpiperazinyipyrimidines as mGluR5 receptor function inhibitors for treatment of pain, addiction, Parkinson's disease, etc.)

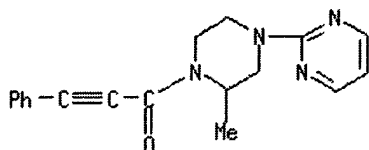
RN 676596-25-1 CAPLUS

CN Piperazine, 1-(1-oxo-3-phenyl-2-propynyl)-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



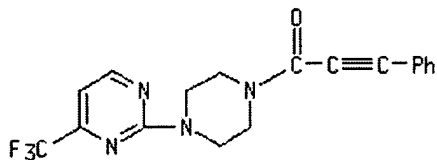
RN 676596-26-2 CAPLUS

CN Piperazine, 2-methyl-1-(1-oxo-3-phenyl-2-propynyl)-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



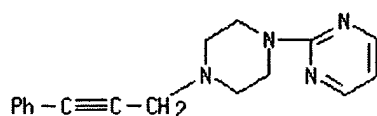
RN 676596-27-3 CAPLUS

CN Piperazine, 1-(1-oxo-3-phenyl-2-propynyl)-4-[4-(trifluoromethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

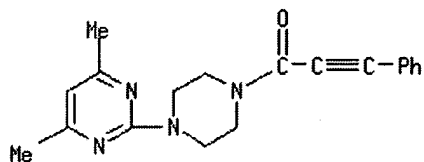


RN 676596-28-4 CAPLUS

CN Pyrimidine, 2-[4-(3-phenyl-2-propynyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

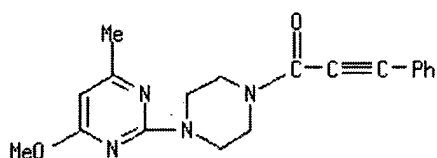


RN 676596-29-5 CAPLUS

CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-(1-oxo-3-phenyl-2-propynyl)-  
(9CI) (CA INDEX NAME)

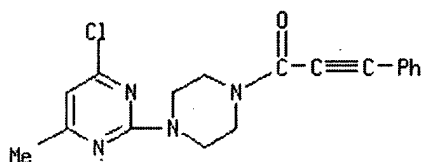
RN 676596-30-8 CAPLUS

CN Piperazine, 1-(4-methoxy-6-methyl-2-pyrimidinyl)-4-(1-oxo-3-phenyl-2-propynyl)- (9CI) (CA INDEX NAME)



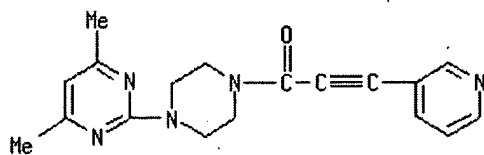
RN 676596-31-9 CAPLUS

CN Piperazine, 1-(4-chloro-6-methyl-2-pyrimidinyl)-4-(1-oxo-3-phenyl-2-propynyl)- (9CI) (CA INDEX NAME)



RN 676596-32-0 CAPLUS

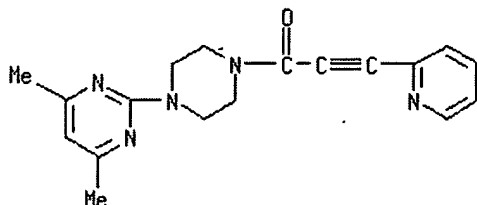
CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-[1-oxo-3-(3-pyridinyl)-2-propynyl]- (9CI) (CA INDEX NAME)



RN 676596-33-1 CAPLUS

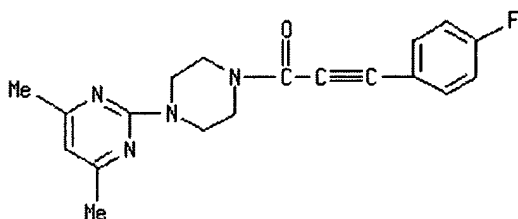
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CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-[1-oxo-3-(2-pyridinyl)-2-propynyl]- (9CI) (CA INDEX NAME)



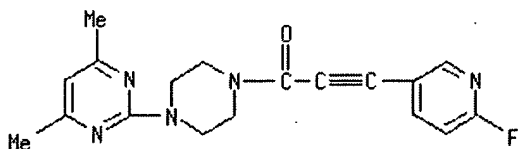
RN 676596-34-2 CAPLUS

CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-[3-(4-fluorophenyl)-1-oxo-2-propynyl]- (9CI) (CA INDEX NAME)



RN 676596-35-3 CAPLUS

CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-[3-(6-fluoro-3-pyridinyl)-1-oxo-2-propynyl]- (9CI) (CA INDEX NAME)



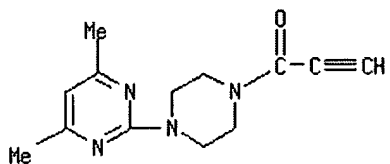
IT 676596-38-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of alkynylpiperazinylpyrimidines as mGluR5 receptor function inhibitors for treatment of pain, addiction, Parkinson's disease, etc.)

RN 676596-38-6 CAPLUS

CN Piperazine, 1-(4,6-dimethyl-2-pyrimidinyl)-4-(1-oxo-2-propynyl)- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

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## ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 2003:282581 CAPLUS

DN 138:287900

TI Preparation of 2-propynyl adenosine analogs having A2a agonist  
antiinflammatory activityIN Linden, Joel M.; Rieger, Jayson M.; MacDonald, Timothy L.; Sullivan, Gail  
W.; Murphree, Lauren Jean; Figler, Robert Alan

PA University of Virginia Patent Foundation, USA

SO PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029264	A2	20030410	WO 2002-US31383	20021001
	WO 2003029264	A3	20031030		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,				
	CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2460911	AA	20030410	CA 2002-2460911	20021001
	US 2003186926	A1	20031002	US 2002-263379	20021001
	EP 1434782	A2	20040707	EP 2002-800432	20021001
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005508933	T2	20050407	JP 2003-532511	20021001
PRAI	US 2001-326517P	P	20011001		
	US 2002-383200P	P	20020524		
	WO 2002-US31383	W	20021001		
OS	MARPAT 138:287900				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB 2-Propynyl adenosine analogs I, wherein Z is substituted alkyl or amine; X is CH<sub>2</sub>ORa, CO<sub>2</sub>Ra, O(CO)Ra, CH<sub>2</sub>OC(O)Ra, C(O)RaRb, CH<sub>2</sub>SRa, C(S)ORa, OC(S)Ra, CH<sub>2</sub>OC(S)Ra, C(S)NRaRb, CH<sub>2</sub>NRaRb; Ra and Rb are each independently hydrogen, alkyl, alkyl substituted with alkoxy, cycloalkyl, alkylthio, amino acid, aryl, arylalkylene, heteroaryl, or heteroarylalkylene; or Ra and Rb, together with the nitrogen to which they are attached, form a pyrrolidino, piperidino, morpholino, or thiomorpholino ring; m is 0-8; R is independently hydrogen, alkyl, cycloalkyl, aryl or arylalkylene, heteroaryl, heteroarylalkylene; R1 is independently hydrogen, halo, ORa, SRa, alkyl, cyano, nitro, trifluoromethyl, trifluoromethoxy, cycloalkyl, heterocycle, heterocycle alkylene, aryl, arylalkylene, heteroaryl, heteroarylalkylene, CO<sub>2</sub>Ra, RaC(O)O, RaC(O), OCO<sub>2</sub>Ra, RaRbNC(O)O, RbOC(O)N(Ra), RaRbN, RaRbNC(O), RaC(O)N(Rb), RaRbNC(O)N(Rb), RaRbNC(S)N(Rb), OPO<sub>3</sub>Ra, RaOC(S), RaC(S), SSRa, RaS(O), RaS(O)<sub>2</sub>, NNRa, or OPO<sub>2</sub>Ra; R1 and R2 are independently hydrogen, halo, alkyl, cycloalkyl,



heterocycle, heterocycle alkylene, aryl, arylalkylene, heteroaryl, or heteroarylalkylene; or R1 and R2 and the atom to which they are attached is CO, CS or CNRC; R<sub>c</sub> is H, alkyl; were prepd. as A2a adenosine receptor agonists. Thus, nucleoside II was prepd. and tested in vitro as A2a agonist antiinflammatory agent.

IT 506438-23-9P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-propynyl adenosine analogs having A2a agonist antiinflammatory activity)

RN 506438-23-9 CAPLUS

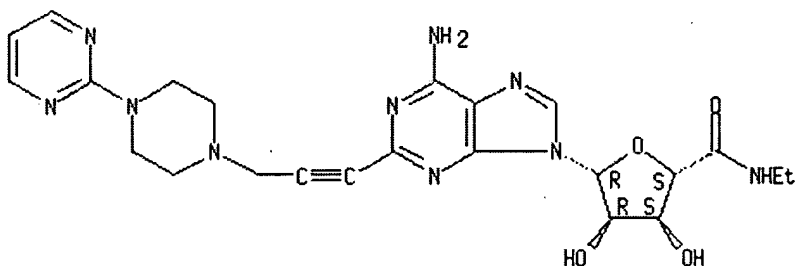
CN β-D-Ribofuranuronamide, 1-[6-amino-2-[3-[4-(2-pyrimidinyl)-1-piperazinyl]-1-propynyl]-9H-purin-9-yl]-1-deoxy-N-ethyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 506438-22-8

CMF C23 H28 N10 O4

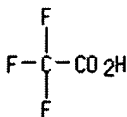
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 2000:217767 CAPLUS

DN 133:79475

TI Liquid chromatographic method for the analysis of buspirone-HCl and its potential impurities

AU Kartal, Murat; Khedr, Alaa; Sakr, Adel

CS College of Pharmacy, University of Cincinnati, Cincinnati, OH, 45267-0004, USA

SO Journal of Chromatographic Science (2000), 38(4), 151-156

CODEN: JCHSBZ; ISSN: 0021-9665

PB Preston Publications

DT Journal

LA English

AB An accurate, reproducible, and sensitive method for the detn. of buspirone and its potential impurities was developed and validated. The validated HPLC method was conducted to meet the Food and Drug Administration/International Conference on Harmonization requirements for the anal. of buspirone in the presence of its impurities. Five buspirone potential impurities, including 1-(2-pyrimidinyl)piperazine (I), propargyl chloride, glutarimide, propargylglutarimide (II), and the fumarate salt of Mannich base-condensate (I-II) were sepd. on a  $\mu$ Bondapak C18 column by gradient elution with a flow rate 2.0 mL/min. The initial mobile phase compn. was pH 6.1 10 mM KH<sub>2</sub>PO<sub>4</sub>-MeCN (90:10). After a 1-min initial hold, a linear gradient was performed in 26 min to pH 6.1 10 mM KH<sub>2</sub>PO<sub>4</sub>-MeCN (35:65). The samples were detected at 210 and 240 nm by using a photo-diode array detector. The linear range of detection for buspirone was between 1.25 and 500 ng/ $\mu$ L, with a limit of quantification of 1.25 ng/ $\mu$ L. The linearity, range, peak purity, selectivity, system performance parameters, precision, accuracy, and robustness for all of the impurities also had acceptable values. (c) 2000 Preston Publications.

IT 278601-50-6

RL: ANT (Analyte); ANST (Analytical study)

(HPLC for detn. of buspirone and its potential impurities)

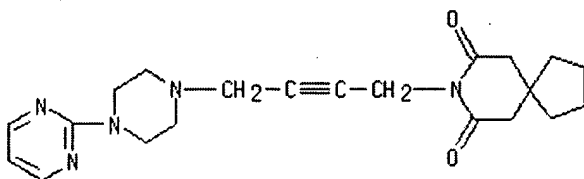
RN 278601-50-6 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 118286-97-8

CMF C21 H27 N5 O2

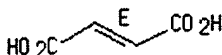


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 1998:232021 CAPLUS

DN 128:303637

TI Analysis of structure-antiemetic activity relations of piperazinyllalkyl derivatives of cyclic imides

AU Naletov, S. V.; Lyashchuk, S. N.; Voshchula, V. N.; Dulenko, V. I.; Khabarov, K. M.; Beletskii, E. A.

CS Donetsk. Med. Inst., Donetsk, Ukraine

SO Khimiko-Farmatsevticheskii Zhurnal (1997), 31(9), 35-40  
CODEN: KHFZAN; ISSN: 0023-1134

PB Izdatel'stvo Folium

DT Journal

LA Russian

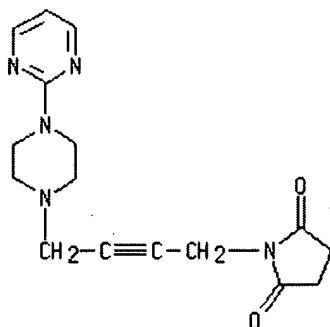
AB The structure-related antiemetic activity of piperazinyllalkyl derivs. of cyclic imides was studied in pigeons.

IT 135705-03-2 206645-37-6 206645-45-6 206645-57-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(structure-antiemetic activity relations of piperazinyllalkyl derivs. of cyclic imides)

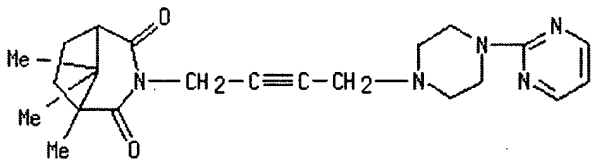
RN 135705-03-2 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[4-[4-(2-pyrimidinyl)-1-piperazinyll]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 206645-37-6 CAPLUS

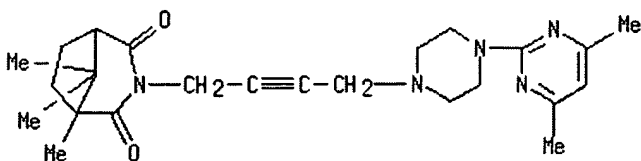
CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 1,8,8-trimethyl-3-[4-[4-(2-pyrimidinyl)-1-piperazinyll]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 206645-45-6 CAPLUS

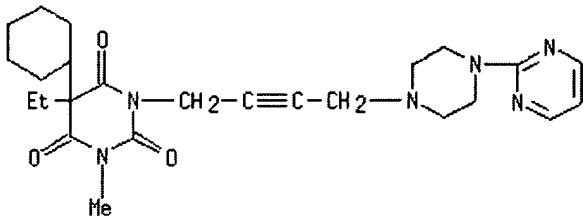
CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 3-[4-[4-(4,6-dimethyl-2-pyrimidinyl)-1-piperazinyll]-2-butynyl]-1,8,8-trimethyl- (9CI) (CA INDEX NAME)

## STN Columbus



RN 206645-57-0 CAPLUS

CN 2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-cyclohexyl-5-ethyl-1-methyl-3-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

[Full Text](#)

AN 1995:465559 CAPLUS

DN 122:214105

TI Preparation of 8-[4-[4-(pyrimidin-2-yl)piperazin-1-yl]butyl]-8-azaspiro[4,5]decan-7,9-dione and its hydrochlorides.

IN Mezei, Tibor; Blasko, Gabor; Budai, Zoltan; Csoergo, Margit; Furdyga, Eva; Klebovich, Imre; Koncz, Laszlo; Szaruhar, Ilona; Mandi, Attila; et al.

PA EGIS Gyogyszergyar RT., Hung.

SO Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

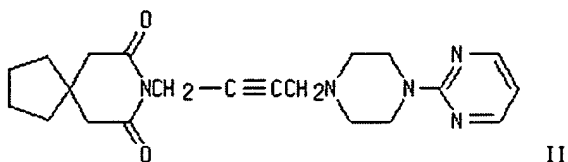
DT Patent

LA Hungarian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	EP 634411	A1	19950118	EP 1994-111037	19940715
	EP 634411	B1	19990526		
	R: AT, BE, CH, DE, DK, LI, NL, SE				
	HU 69720	A2	19950928	HU 1993-2040	19930716
	HU 217129	B	19991129		
	FR 2709128	A1	19950224	FR 1994-8621	19940712
	FR 2709128	B1	19960223		
	US 5473072	A	19951205	US 1994-274848	19940714
	RU 2131875	C1	19990620	RU 1994-26281	19940714
	CZ 287531	B6	20001213	CZ 1994-1709	19940714
	CA 2128164	AA	19950117	CA 1994-2128164	19940715
	GB 2280185	A1	19950125	GB 1994-14325	19940715
	GB 2280185	B2	19970416		
	ZA 9405210	A	19960115	ZA 1994-5210	19940715
	ES 2082722	A1	19960316	ES 1994-1543	19940715
	ES 2082722	B1	19961001		
	AT 180480	E	19990615	AT 1994-111037	19940715
	PL 176708	B1	19990730	PL 1994-304313	19940715
	SK 281622	B6	20010510	SK 1994-861	19940715
	JP 07165755	A2	19950627	JP 1994-165115	19940718
PRAI	HU 1993-2040	A	19930716		
OS	CASREACT 122:214105				

GI



AB Buspirone (I) and hydrochloride salts thereof were prepd. by (1) adding a  $\geq 40$  wt.% soln. of alkyne (II) in an inert org. solvent to a suspension of Pd or Raney Ni in an inert org. solvent under hydrogenation conditions, and (2) optional salification with HCl. Thus, a soln. of 100 g II in 140 mL MeOH was added to a suspension of 4 g 5% Pd/C in 250 mL MeOH over 2-4 h under 1 bar H to give 100% I.

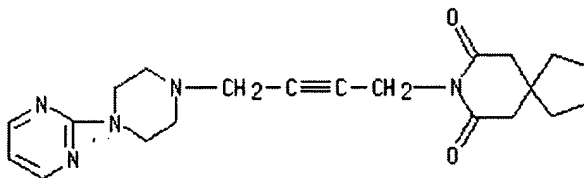
IT 118286-97-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of 8-[4-[4-(pyrimidin-2-yl)piperazin-1-yl]butyl]-8-azaspiro[4,5]decan-7,9-dione and its hydrochlorides by hydrogenation of a butyne deriv.)

RN 118286-97-8 CAPLUS

CN 8-Azaspiro[4.5]decan-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 1993:139676 CAPLUS

DN 118:139676

TI Serotonergic and dopaminergic mechanisms of the action of 1-pyrimidinylpiperazine derivatives

AU Abramets, I. I.; Obratsova, O. G.; Samoilovich, I. M.; Kharin, N. A.

CS Dep. Pharmacol., M. Gorky Donetsk Med. Inst., Donetsk, 340098, Ukraine

SO Eksperimental'naya i Klinicheskaya Farmakologiya (1992), 55(3), 8-11  
CODEN: EKFAE9; ISSN: 0869-2092

DT Journal

LA Russian

AB In spinal ganglia neurons of rats, 1-pyrimidinylpiperazine derivs. showed properties of partial agonists of 5-HT<sub>1A</sub>-receptors. Some of them were capable of blocking D<sub>2</sub>-dopamine receptors. Comparison of neuronal and behavioral activity of the substances has demonstrated that their anxiolytic activity detectable in conflict situation method correlated with the 5-HT<sub>1A</sub>-mimetic and antidopamine activity. The latter one correlated well with the influence of the substances on the time of immobilization in the forced swimming test.

IT 118286-97-8 146583-09-7

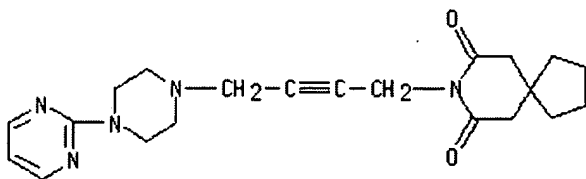
## STN Columbus

RL: PRP (Properties)

(psychotropic effects of, dopaminergic and serotonergic mechanisms of)

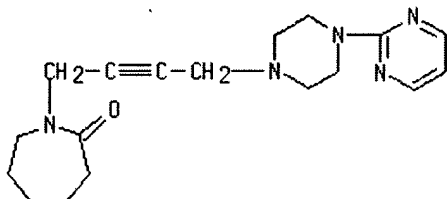
RN 118286-97-8 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 146583-09-7 CAPLUS

CN 2H-Azepin-2-one, hexahydro-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 1993:80954 CAPLUS

DN 118:80954

TI Preparation of N-[4-[(hetero)aryl]piperazinoalkyl]benzisothiazole-3-carboxamides and analogs as antipsychotics

IN Hrib, Nicholas J.; Jurcak, John G.

PA Hoechst-Roussel Pharmaceuticals Inc., USA

SO U.S., 14 pp.

CODEN: USXXAM

DT Patent

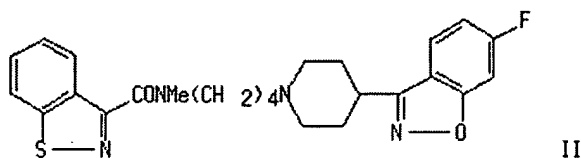
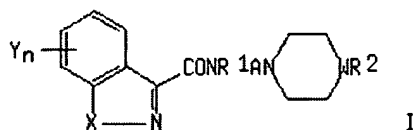
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 5143923	A	19920901	US 1991-693168	19910429
	CA 2067404	AA	19921030	CA 1992-2067404	19920408
	CA 2067404	C	20030819		
	EP 511610	A1	19921104	EP 1992-107138	19920427
	EP 511610	B1	19960911		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
	IL 101700	A1	19951127	IL 1992-101700	19920427
	PL 168090	B1	19960131	PL 1992-294358	19920427
	PL 168870	B1	19960430	PL 1992-309056	19920427
	AT 142628	E	19960915	AT 1992-107138	19920427
	ES 2094843	T3	19970201	ES 1992-107138	19920427
	NO 9201654	A	19921030	NO 1992-1654	19920428
	NO 180488	B	19970120		
	NO 180488	C	19970430		

# STN Columbus

AU 9215187	A1	19921105	AU 1992-15187	19920428
AU 644054	B2	19931202		
JP 05132472	A2	19930528	JP 1992-108229	19920428
RU 2039057	C1	19950709	RU 1992-5011480	19920428
CZ 282764	B6	19971015	CZ 1992-1298	19920428
KR 215345	B1	19990816	KR 1992-7140	19920428
HU 62889	A2	19930628	HU 1992-1414	19920429
HU 214032	B	19971229		
US 5225412	A	19930706	US 1992-899518	19920616
US 5143923	B1	19931102	US 1992-90002891	19921116
PRAI US 1991-693168	A	19910429		
OS MARPAT 118:80954				
GI				



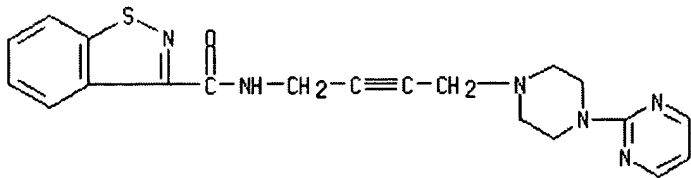
AB Title compds. [I; A = alkylene, CHR<sub>4</sub>ZCHR<sub>4</sub>; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = alkyl, (substituted) Ph, -PhCH<sub>2</sub>, -Bz, -pyrimidyl, -benzisoxazol-3-yl, etc.; W = N, CH; X = O, S; Y = H, alkyl, alkoxy, OH, halo, CF<sub>3</sub>; Z = CH:CH, C≡C; n = 1, 2] were prepd. Thus, N-methyl-N-(4-bromobutyl)-1,2-benzisothiazole-3-carboxamide was condensed with 4-(6-fluoro-1,2-benzisoxazol-3-yl)piperidine to give title compd. II, which had ED<sub>50</sub> of 1.5 mg/kg i.p. against apomorphine-induced climbing in mice.

IT 145759-38-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as antipsychotic)

RN 145759-38-2 CAPLUS

CN 1,2-Benzisothiazole-3-carboxamide, N-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



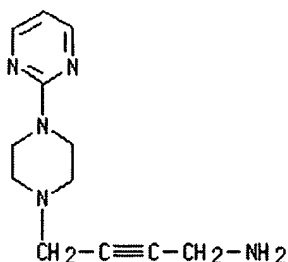
IT 145759-66-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in prepn. of antipsychotics)

RN 145759-66-6 CAPLUS

## STN Columbus

CN 2-Butyn-1-amine, 4-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 1992:591868 CAPLUS

DN 117:191868

TI Preparation of 1-piperazinyl-2-butenes and -2-butyne and their antipsychotic activity

IN Ong, Helen Hu; Hrib, Nicholas J.; Perez, Joseh; Jurcak, John Gerhard

PA Hoechst-Roussel Pharmaceuticals Inc., USA

SO Eur. Pat. Appl., 52 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	EP 494604	A1	19920715	EP 1992-100053	19920103
	EP 494604	B1	19981007		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
	US 5130315	A	19920714	US 1991-639639	19910110
	AT 171942	E	19981015	AT 1992-100053	19920103
	ES 2123520	T3	19990116	ES 1992-100053	19920103
	CA 2059110	AA	19920711	CA 1992-2059110	19920109
	JP 04308570	A2	19921030	JP 1992-19363	19920109
	US 5194436	A	19930316	US 1992-875477	19920429
	US 5334715	A	19940802	US 1993-986415	19930201
	US 5440048	A	19950808	US 1994-238283	19940505
PRAI	US 1991-639639	A	19910110		
	US 1992-875477	A3	19920429		
	US 1993-986415	A3	19930201		

OS MARPAT 117:191868

GI For diagram(s), see printed CA Issue.

AB Piperazines I [A = substituted phthalimido, 7,9-dioxo-8-azaspirodecane-8-yl, 2,4-dioxothiazolidin-3-yl, substituted 1-oxoisindol-2-yl, etc., B = C≡C, cis- and trans-CH:CH, D = substituted Ph, substituted 2-pyrimidinyl, substituted (iso)quinolinyl, substituted benzothiazol-3-yl, substituted benzothiophen-3-yl] were prepd. by reacting ACH2B with piperazines II to give I (B = C≡C), which were optionally catalytically hydrogenated to give I (B = CH:CH) or reacting ACH2CH:CHCH2Hal (Hal = Cl, Br) with II to give I (B = CH:CH). Other methods could be used as well. Thus, 2.81g of phthalic anhydride condensed with 4.80g of (E)-1-amino-4-[4-(2-methoxyphenyl)-1-piperazinyl]-2-butene in 125mL toluene under reflux to give I [A = phthalimido, B = (E)-CH:CH, D = 2-MeOC6H4] (III) in 22% yield. III (ED50 = 7.3 mg/kg) and three other compds. were evaluated for antipsychotic activity.

IT 144009-92-7P

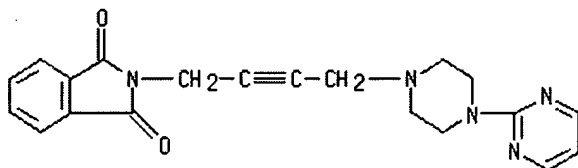


RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenation of)

RN 144009-92-7 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 1992:83631 CAPLUS

DN 116:83631

TI Synthesis and anxiolytic activity of N-substituted cyclic imides (1R\*,2S\*,3R\*,4S\*)-N-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-2,3-bicyclo[2.2.1]heptanedicarboximide (tandospirone) and related compounds

AU Ishizumi, Kikuo; Kojima, Atsuyuki; Antoku, Fujio

CS Res. Lab., Sumitomo Pharm. Co., Ltd., Osaka, 554, Japan

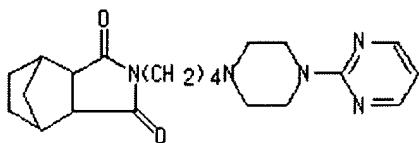
SO Chemical Pharmaceutical Bulletin (1991), 39(9), 2288-300

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

GI



I

AB A series of cyclic imides bearing ω-(4-aryl and 4-heteroaryl-1-piperazinyl)alkyl moieties, e.g., I, was synthesized and tested in vivo for anxiolytic activity. The in vitro binding affinities of these compds. were also examd. for 5-HT<sub>1A</sub> receptor sites. Structure-activity relationships within the series are discussed. Tandospirone (I) was equipotent with buspirone in its anxiolytic activity and more anxi-selective than buspirone and diazepam. I is currently undergoing clin. evaluation as a selective anxiolytic agent.

IT 120596-77-2P

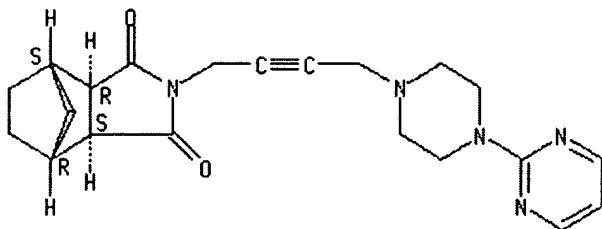
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and anxiolytic activity of)

RN 120596-77-2 CAPLUS

CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, hexahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3aα,4β,7β,7aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 116732-68-4P 138274-05-2P 138274-07-4P

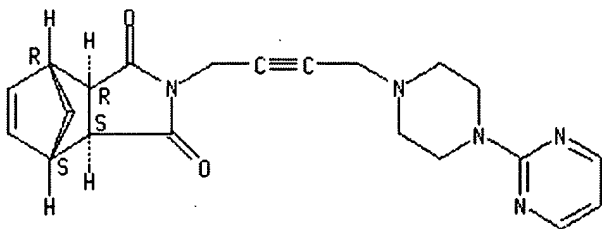
138693-54-6P 138693-55-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 116732-68-4 CAPLUS

CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 3a,4,7,7a-tetrahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3a $\alpha$ ,4 $\beta$ ,7 $\beta$ ,7a.alp ha.)- (9CI) (CA INDEX NAME)

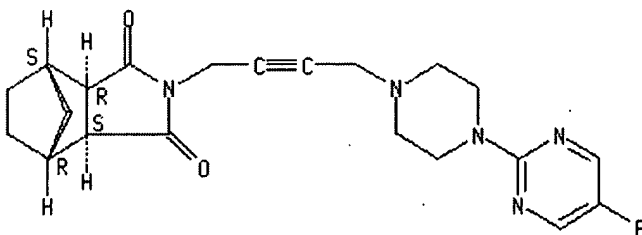
Relative stereochemistry.



RN 138274-05-2 CAPLUS

CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]hexahydro-, monohydrochloride, (3a $\alpha$ ,4 $\beta$ ,7 $\beta$ ,7a $\alpha$ )- (9CI) (CA INDEX NAME)

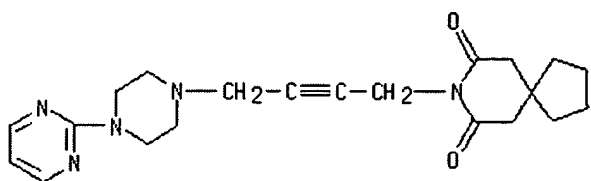
Relative stereochemistry.



# HCl

RN 138274-07-4 CAPLUS

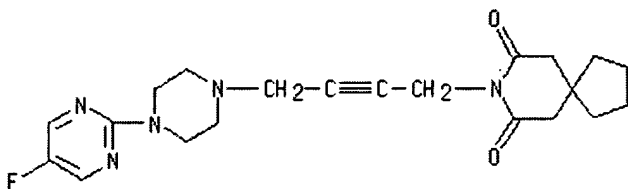
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, monohydrochloride (9CI) (CA INDEX NAME)



# HCl

RN 138693-54-6 CAPLUS

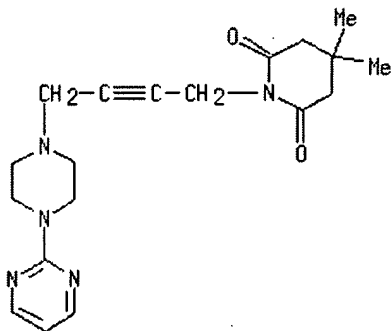
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, monohydrochloride (9CI) (CA INDEX NAME)



# HCl

RN 138693-55-7 CAPLUS

CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, monohydrochloride (9CI) (CA INDEX NAME)



# HCl

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

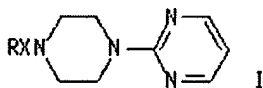
AN 1991:505837 CAPLUS

DN 115:105837

TI Anxiolytic activity of 1-(2-pyrimidinyl)piperazine derivatives

AU Komissarov, I. V.; Kharin, N. A.; Voshula, V. N.; Tolkunov, S. V.;  
 Kibal'nyi, A. V.; Nikolyukin, Yu. A.; Obratsova, O. E.; Talalaenko, A.  
 N.; Dulencko, V. I.

CS Med. Inst. im. Gorkogo, Donetsk, USSR  
 SO Khimiko-Farmatsevticheskii Zhurnal (1991), 25(3), 40-2  
 CODEN: KHPZAN; ISSN: 0023-1134  
 DT Journal  
 LA Russian  
 OS CASREACT 115:105837  
 GI



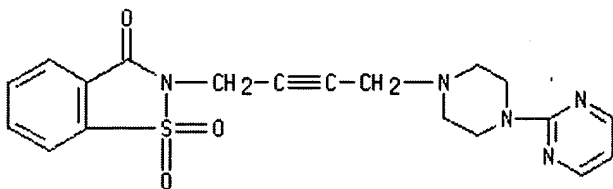
AB Eight derivs. of 1-(2-pyrimidinyl)piperazine [I, R = heterocyclic, X = (CH<sub>2</sub>)<sub>4</sub>, CH<sub>2</sub>C≡C:CH<sub>2</sub>, or (CH<sub>2</sub>)<sub>3</sub>] were prepd. and their pharmacol. activity compared with that of buspirone and ipsapirone. All compds. showed anxiolytic activity and their action on the central nervous system was weaker than diazepam.

IT 135704-99-3P 135705-03-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and anxiolytic activity of)

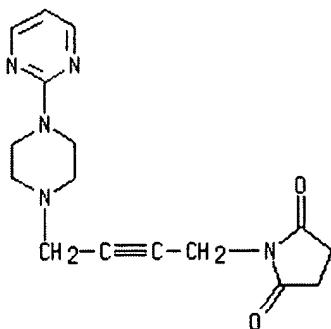
RN 135704-99-3 CAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 135705-03-2 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



Full Text

AN 1990:35790 CAPLUS

DN 112:35790

TI A general synthetic method suitable for the introduction of deuterium or tritium in buspirone-type anxiolytic agents

AU Welch, Willard M.; Viverios, D. M.

CS Cent. Res. Div., Pfizer, Inc., Groton, CT, 06340, USA

SO Journal of Labelled Compounds and Radiopharmaceuticals (1989), 27(6), 701-6

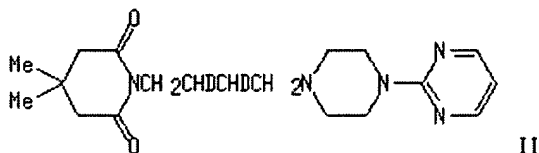
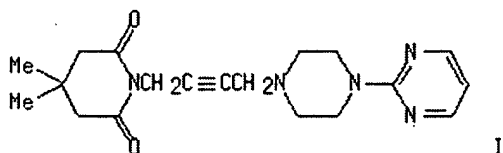
CODEN: JLCRD4; ISSN: 0362-4803

DT Journal

LA English

OS CASREACT 112:35790

GI



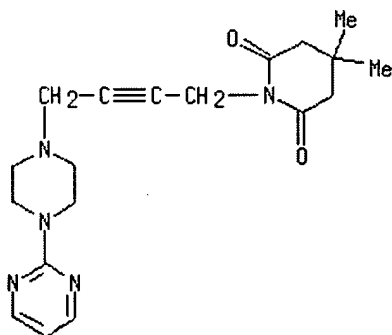
AB Butynyl analog I of gepirone was prepd. in 2 steps from 4,4-dimethylglutarimide by substitution reaction with propargyl bromide and then Mannich reaction with HCHO and 1-(2-pyrimidinyl)piperazine. Partial hydrogenation of I over the Lindlar catalyst further poisoned with quinoline gave the butenyl analog, which was deuterated to give dideuteriogeprone II.

IT 116732-71-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 116732-71-9 CAPLUS

CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, hydrochloride (9CI) (CA INDEX NAME)



# x HCl

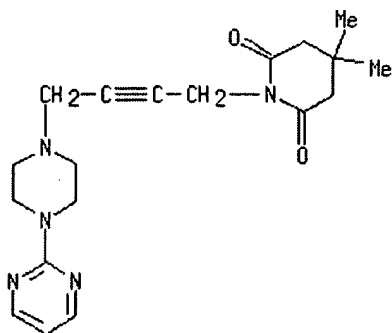
## STN Columbus

IT 116732-70-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn., conversion to hydrochloride, and hydrogenation of)

RN 116732-70-8 CAPLUS

CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 1989:231663 CAPLUS

DN 110:231663

TI Preparation of (piperazinylbutynyl)- and (piperazinylbutenyl)bicycloheptane derivatives as tranquilizers

IN Kojima, Yoshiyuki; Maruyama, Isamu; Antoku, Fujio; Ishizumi, Kikuo

PA Sumitomo Pharmaceuticals Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63010786	A2	19880118	JP 1986-154747	19860701
PRAI	JP 1986-154747		19860701		
OS	MARPAT 110:231663				
GI	For diagram(s), see printed CA Issue.				
AB	The title compds. (I; R = Q1, Q2, etc.; R1 = Ph, 2-pyridinyl, 2-pyrimidinyl, etc.; Z = CH:CH, C≡C), useful as tranquilizers (no data), were prepd. A mixt. of exo-N-propargylbicyclo[2.2.1]heptane-2,3-dicarboximide, 1-(2-pyrimidinyl)piperazine, and 35% aq. HCHO in dioxane contg. CuSO4 was stirred 2 h at 70-80° to give 99% exo-N-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]bicyclo[2.2.1]heptane-2,3-dicarboximide.				

IT 116732-68-4P 116732-70-8P 118286-97-8P

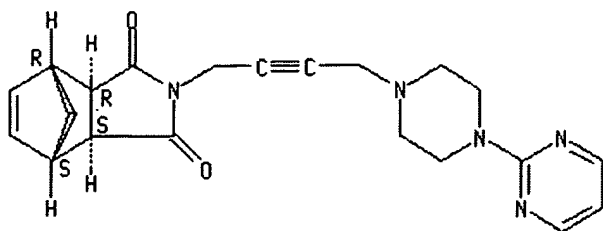
120596-77-2P 120596-80-7P 120665-00-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as tranquilizer)

RN 116732-68-4 CAPLUS

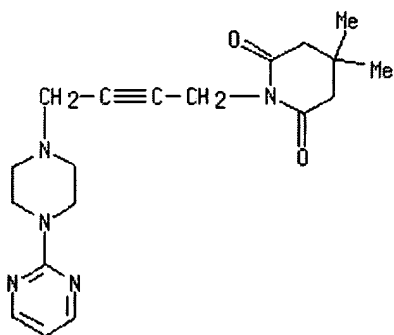
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 3a,4,7,7a-tetrahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3aα,4β,7β,7a.alp ha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



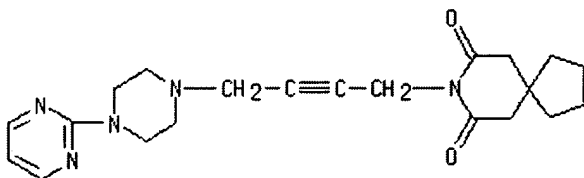
RN 116732-70-8 CAPLUS

CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 118286-97-8 CAPLUS

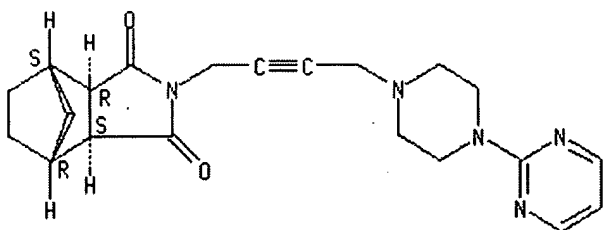
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 120596-77-2 CAPLUS

CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, hexahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3α,4β,7β,7α)- (9CI)  
(CA INDEX NAME)

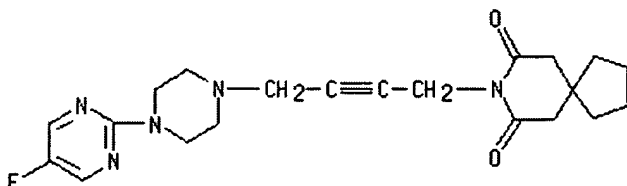
Relative stereochemistry.



RN 120596-80-7 CAPLUS

# STN Columbus

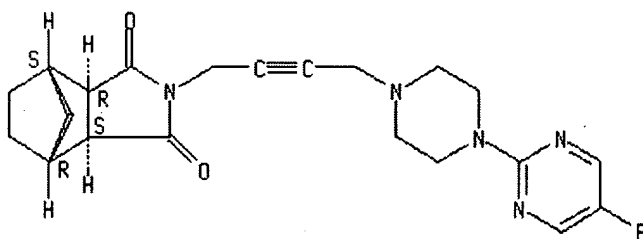
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 120665-00-1 CAPLUS

CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]hexahydro-, (3 $\alpha$ ,4 $\beta$ ,7 $\beta$ ,7 $\alpha$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

## Full Text

AN 1989:39018 CAPLUS

DN 110:39018

TI Preparation of buspirone

IN Budai, Zoltan; Gregor Boros, Livia; Mezei, Tibor; Reiter Esses, Klara; Tajthy Juhasz, Eva Judit

PA EGIS Gyogyszergyar, Hung.

SO Ger. Offen., 14 pp.

CODEN: GWXXBX

DT Patent

LA German

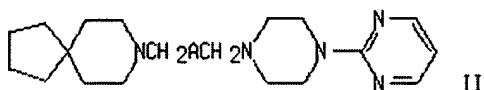
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 3806009	A1	19880908	DE 1988-3806009	19880225
	DE 3806009	C2	19960912		
	HU 45991	A2	19880928	HU 1987-717	19870225
	HU 198204	B	19890828		
	HU 45992	A2	19880928	HU 1987-718	19870225
	HU 198477	B	19891030		
	HU 46673	A2	19881128	HU 1987-716	19870225
	HU 197313	B	19890328		
	PL 152958	B1	19910228	PL 1988-270815	19880223
	CH 677924	A	19910715	CH 1988-675	19880223
	CN 88100923	A	19880928	CN 1988-100923	19880224
	DK 8800993	A	19880826	DK 1988-993	19880225
	FI 8800895	A	19880826	FI 1988-895	19880225
	SE 8800651	A	19880826	SE 1988-651	19880225



## STN Columbus

GB 2201417	A1	19880901	GB 1988-4385	19880225
GB 2201417	B2	19901031		
NL 8800484	A	19880916	NL 1988-484	19880225
JP 63225370	A2	19880920	JP 1988-43240	19880225
FR 2615853	A1	19881202	FR 1988-2286	19880225
FR 2615853	B1	19910104		
ES 2009236	A6	19890916	ES 1988-543	19880225
BE 1001464	A3	19891107	BE 1988-218	19880225
DD 281384	A5	19900808	DD 1988-313196	19880225
CS 274423	B2	19910411	CS 1988-1222	19880225
CA 1300141	A1	19920505	CA 1988-559846	19880225
IL 85545	A1	19920525	IL 1988-85545	19880225
AT 8800476	A	19920815	AT 1988-476	19880225
AT 395851	B	19930325		
PRAI HU 1987-716	A	19870225		
HU 1987-717	A	19870225		
HU 1987-718	A	19870225		
OS MARPAT 110:39018				
GI				



AB The title compd. (I) was prepd. by an improved method involving redn. of unsatd. precursor II (A = C≡C, CH:CH). 8-Azaspiro[4,5]decane-7,9-dione and HC≡CCH<sub>2</sub>Br were refluxed 1 h in MeCN contg. K<sub>2</sub>CO<sub>3</sub> to give 8-(2-propynyl)-8-azaspiro[4,5]decane-7,9-dione which was refluxed with 1-(2-pyrimidinyl)piperazine and HCHO in dioxane contg. Cu(OHc)<sub>2</sub> to give II (A = C≡C). The latter was hydrogenated over Pd/C in EtOH to give I.

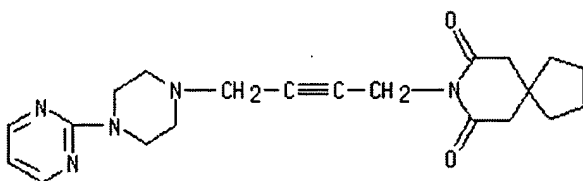
## IT 118286-97-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in novel prepn. of buspirone)

RN 118286-97-8 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

Full Text

AN 1989:23914 CAPLUS

DN 110:23914

TI Preparation of N-(piperazinylbutyl)imide derivatives as tranquilizers and psychotropics

IN Kojima, Yoshiyuki; Maruyama, Isamu; Antoku, Fujio; Ishizumi, Kikuo

PA Sumitomo Pharmaceuticals Co., Ltd., Japan

## STN Columbus

SO Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63010760	A2	19880118	JP 1986-154748	19860701
PRAI	JP 1986-154748		19860701		
OS	MARPAT 110:23914				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = Q1, Q3, Q4, Q5 and R2 = (halo-, C1-4 alkoxy-, cyano-substituted) Ph, 2-pyridyl, or 2-pyrimidyl; R1 = Q3, Q4, Q5 and R2 = 1,2-benz-3-isothiazolyl; Y = (CH<sub>2</sub>)<sub>2</sub>] (II), useful as psychotropics and minor tranquilizers (no data), are prepd. from I (R1 = Q1-Q2; Y = CH:CH, C≡C) (III). A mixt. of bicyclo[2.2.1]heptane-2,3-dioxocarboxamide, BrCH<sub>2</sub>C≡CH, K<sub>2</sub>CO<sub>3</sub> and Me<sub>2</sub>CO was refluxed for 1h to give the corresponding N-propargylbicycloheptane deriv., which in dioxane was treated with 35% aq. HCHO and 1-(2-pyrimidyl)piperazine in H<sub>2</sub>O in the presence of copper sulfate to give 99% IV (R<sub>3</sub>R<sub>5</sub> = R<sub>4</sub>R<sub>6</sub> = bond). The latter compd. in THF was hydrogenated in the presence of 10% Pd/C to afford 88.6% IV (R<sub>3</sub>-R<sub>6</sub> = H) isolated as its citrate.

IT 116732-68-4P 116732-70-8P 116732-71-9P

116732-72-0P 116732-74-2P 116753-46-9P

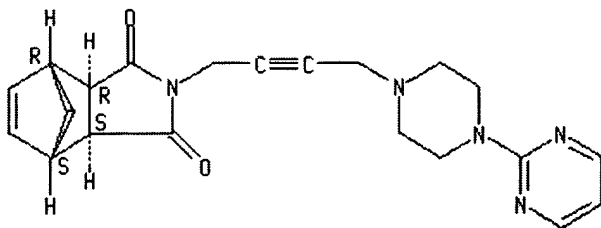
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenation of, in prepn. of piperazinybutylimide tranquilizers and psychotropics)

RN 116732-68-4 CAPLUS

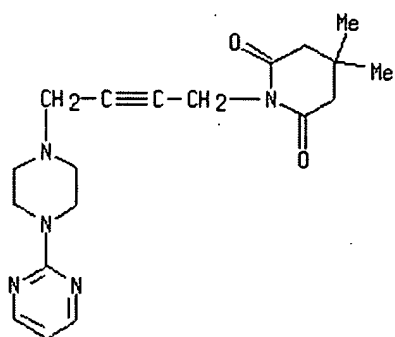
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 3a,4,7,7a-tetrahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, (3a $\alpha$ ,4 $\beta$ ,7 $\beta$ ,7a.alp ha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



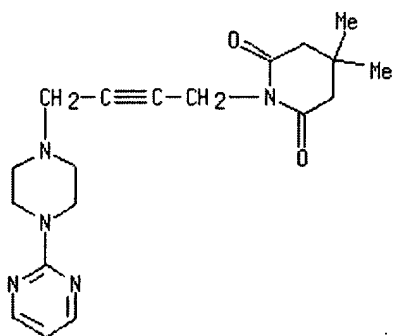
RN 116732-70-8 CAPLUS

CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]- (9CI) (CA INDEX NAME)



RN 116732-71-9 CAPLUS

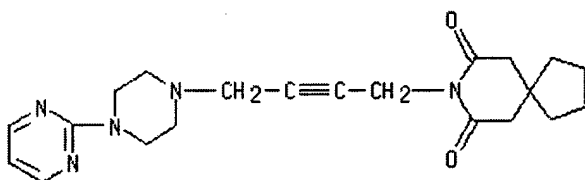
CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, hydrochloride (9CI) (CA INDEX NAME)



# x HCl

RN 116732-72-0 CAPLUS

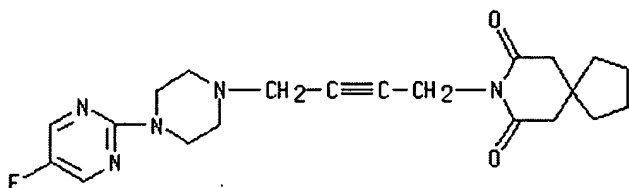
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, hydrochloride (9CI) (CA INDEX NAME)



# x HCl

RN 116732-74-2 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]-, hydrochloride (9CI) (CA INDEX NAME)

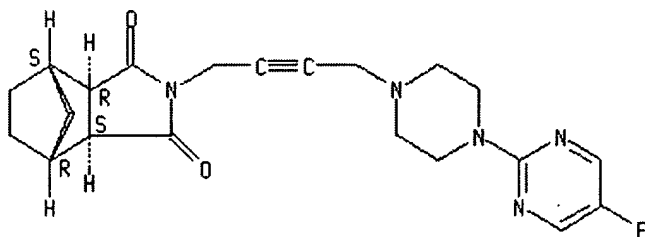


# x HCl

RN 116753-46-9 CAPLUS

CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-butynyl]hexahydro-, hydrochloride,  
(3a $\alpha$ ,4 $\beta$ ,7 $\beta$ ,7a $\alpha$ )-(9CI) (CA INDEX NAME)

Relative stereochemistry.



# x HCl

=&gt; file caold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

75.00

238.69

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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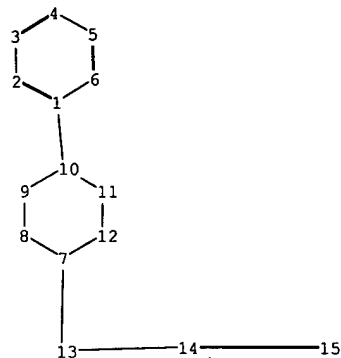
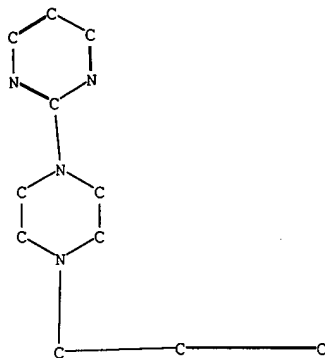
## STN Columbus

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L5            0 L3

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ring nodes :
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chain bonds :
  1-10 7-13 13-14 14-15
ring bonds :
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exact/norm bonds :
  1-10 7-8 7-12 7-13 8-9 9-10 10-11 11-12
exact bonds :
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normalized bonds :
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isolated ring systems :
  containing 1 : 7 :

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Match level :
  1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
  10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS

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